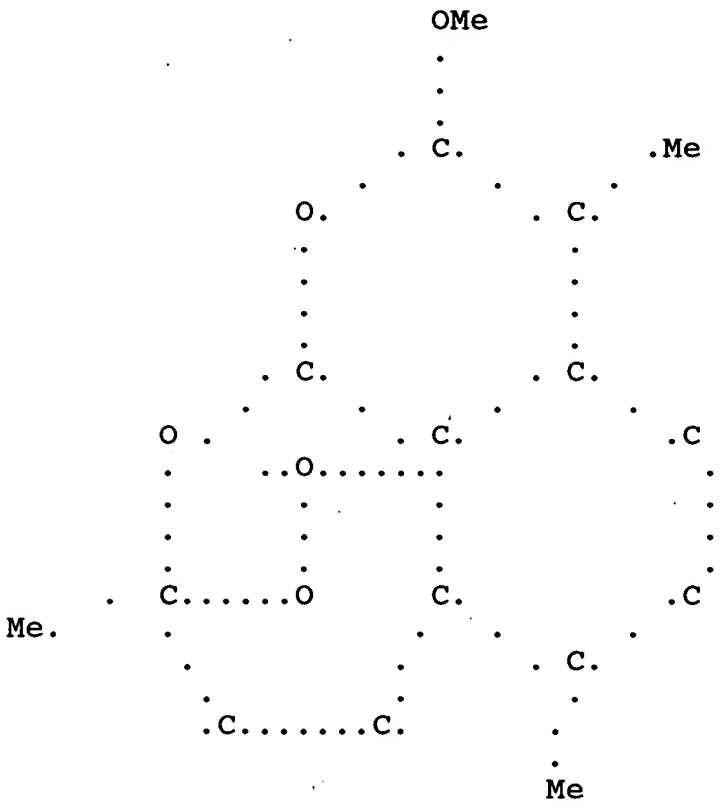
L3 ANSWER 44 OF 49 CA COPYRIGHT 1995 ACS
AN 96:210480 CA
TI Studies on the efficacy of ***artemether*** in experimental schistosomiasis
AU Le, Wenju; You, Jiqing; Yang, Yuanqing; Mei, Jingyan; Guo, Huifang; Yang, Huizhong; Zhang, Chaowei
CS Inst. Paras. Dis., Chinese Acad. Med. Sci., Shanghai, Peop. Rep. China
SO Yaoxue Xuebao (1982), 17(3), 187-93
CODEN: YHHPAL; ISSN: 0513-4870
DT Journal
LA Chinese
AB When Schistosoma japonicum-infected mice were treated ***orally*** with an ***artemether*** (I) [71963-77-4] suspension at 400-800 mg/kg for 1-4 days, the worm redn. rates were 55.3%-79.9%. If the drug was given s.c. in oil to infected mice at 225-435 mg/kg in 3 days the worm redn. rates were 70.5-81.2%. In infected dogs treated ***orally*** with I suspension at 25-35 mg/kg in 3 days or i.m. with the drug in oil at 150-250 mg/kg in 5 days, the worm redn. rates were 52.6-59.1% and 91.3-99.3%, resp. ***Artemether*** was also effective against immature worms.
ST ***artemether*** schistosomicide

L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 1995 ACS
RN 71963-77-4 REGISTRY
CN 3,12-Epoxy-12H-pyrano[4,3-j]-1,2-benzodioxepin, decahydro-10-methoxy-3,6,9-trimethyl-, [3R-(3.alpha.,5a.beta.,6.beta.,8a.beta.,9.alpha.,10.alpha.,12.beta.,12aR*)]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN .beta.-Artemether
CN ***Artemether***
CN Dihydroartemisin methyl ether
CN SM 224



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L3 ANSWER 34 OF 49 CA COPYRIGHT 1995 ACS
AN 105:17892 CA
TI Histological observations on the effects of ***artemether*** , fuvinazole, and niridazole on Schistosoma japonicum schistosomulae in mouse liver
AU Yang, Yuanqing; Yang, Huizhong; Zhang, Chaowei
CS Inst. Parasitic Dis., China Natl. Cent. Prevent. Med., Shanghai, Peop. Rep. China
SO Zhongguo Yaoli Xuebao (1986), 7(3), 276-8
CODEN: CYLPDN; ISSN: 0253-9756
DT Journal
LA Chinese
AB The degeneration rates of schistosomulae in the liver of S. japonicum-infested mice following ***oral*** administration of ***artemether*** (I) [71963-77-4], fuvinazole (II) [34457-18-6], or niridazole [61-57-4] were 47-78, 7-81 and 12-80%, resp., from day 8 to day 15 after the infestation; loose parenchymal tissue and vacuolation in the schistosomulae and infiltration of lymphocytes around the worms were obsd. in the I-treated group, while swelling of the tegument, extension of the intestinal tube filled with Hb, and infiltration of polynuclear leukocytes in the worms were noted in II- and niridazole-treated mice. The incidences of coagulation necrosis of the schistosomulae in the mouse liver were 52, 30 and 90% after treatment with I, II, and niridazole, resp.
ST liver schistosomulae ***artemether*** fuvinazole niridazole

L3 ANSWER 26 OF 49 CA COPYRIGHT 1995 ACS
AN 111:166859 CA
TI In vitro and in vivo studies of the effect of ***artemether*** on Schistosoma mansoni
AU Xiao, Shuhua; Catto, Brian A.
CS Sect. Infect. Dis., Veterans Adm. Med. Cent., Augusta, GA, 30912, USA
SO Antimicrob. Agents Chemother. (1989), 33(9), 1557-62
CODEN: AMACCQ; ISSN: 0066-4804
DT Journal
LA English
AB To det. whether ***artemether*** , a deriv. of the antimalarial agent qinghaosu, is therapeutically active against S. mansoni, the in vitro, in vivo, and histopathol. effects of the drug on S. mansoni worms were detd. In vitro, toxic effects of ***artemether*** on S. mansoni were not seen at <100 .mu.g/mL. However, in mice, 30 and 50% redns. in the lengths of male and female worms, resp., were obsd. 14 days after treatment. By 56 days worm dimensions had returned to control values. Similar reversible effects on male testes and female ovaries were seen. In vivo, a single ***oral*** dose of ***artemether*** (300 mg/kg) induced a shift of worms towards the liver within 8 h after treatment. By 3 and 14 days after treatment, 99 and 76%, resp., of the worms were still in the liver. In vivo, the therapeutic effect of ***artemether*** on adult S. mansoni treated on day 56 after infection was modest. Doses as high as 1200 mg (200 mg/kg/day, 6 doses) resulted in a worm redn. rate of only 39%. However, in infected mice treated on day 14 or 21 after infection, worm redn. rates of 83-98% were obtained. Thus, ***artemether*** exhibited modest in vitro and in vivo activities against adult S. mansoni but was 2-fold more active against 2-3-wk-old liver-stage parasites.
ST ***artemether*** Schistosoma

L3 ANSWER 31 OF 49 CA COPYRIGHT 1995 ACS
AN 107:190420 CA
TI Histochemical studies of ***artemether*** , fuvinazole, and niridazole on schistosomula of Schistosoma japonicum and mouse livers
AU Yang, Yuanqing; Zhang, Chaowei; Yang, Huizhong
CS Inst. Parasit. Dis., Chin. Acad. Prev. Med., Shanghai, Peop. Rep. China
SO Zhongguo Yaoli Xuebao (1987), 8(5), 464-7
CODEN: CYLPDN; ISSN: 0253-9756
DT Journal
LA Chinese
AB The glycogen content of schistosomula in the liver of mice infected with S. japonicum was decreased markedly after ***oral*** administration of niridazole (200 mg/kg) and ***artemether*** (300 mg/kg) and reduced gradually after fuvinazole (400 mg/kg), but increased in the untreated controls; the alk. phosphatase in worm tegument was decreased by fuvinazole, while that in worm parenchymal cells was decreased by ***artemether*** and niridazole. These drug-induced changes in glycogen and alk. phosphatase were not found in the infested liver except that in the hepatic tissue surrounding the periportal vein obstructed by the schistosomula, glycogen and alk. phosphatase were markedly decreased; severe hepatic damages were noted in niridazole-treated group.
ST Schistosoma liver ***artemether*** fuvinazole niridazole; anthelmintic Schistosoma liver drug

L3 ANSWER 32 OF 49 CA COPYRIGHT 1995 ACS
AN 106:43393 CA
TI Pharmacokinetics of Qinghaosu and two of its active derivatives in dogs
AU Zhao, Kaicun; Chen, Qiming; Song, Zhenyu
CS Inst. Mater. Med., Chin. Acad. Med. Sci., Beijing, Peop. Rep. China
SO Yaoxue Xuebao (1986), 21(10), 736-9
CODEN: YHHPAL; ISSN: 0513-4870
DT Journal
LA Chinese
AB In dogs following i.m. injection of qinghaosu [63968-64-9] (10 mg/kg), the absorption was rapid with a peak serum drug level of 0.2 .mu.g/mL at 2 h, elimination half-life of 1.6 h, and mean retention time (MRT) of 3.3 h, as detd. by RIA; no qinghaosu was detectable following ***oral*** or rectal administration. The pharmacokinetics of artesunic acid [88495-63-0] (6 mg/kg, i.v.), an active deriv. of qinghaosu, fit a 1-compartment model with an elimination half-life of 0.45 h. The pharmacokinetics of ***artemether*** [71963-77-4] (10 or 30 mg/kg, i.m.), another active deriv. of qinghaosu, are also given; the peak serum concn. was 0.7 and 3.7 mg/mL, resp., the elimination half-life was 4 and 6.5 h, resp., and MRT was 7 and 9.4 h, resp.
ST qinghaosu deriv pharmacokinetics

L3 ANSWER 19 OF 49 CA COPYRIGHT 1995 ACS
AN 114:157175 CA
TI Antimalarial compositions and methods of treatment using quinidine, ***artemisinine*** and its derivatives
IN Chatterjee, Deepak Kumar; Venugopalan, Bindumadhavan; Blumbach, Juergen; Iyer, Subramani Natrajan
PA Hoechst A.-G., Fed. Rep. Ger.
SO Eur. Pat. Appl., 9 pp.
CODEN: EPXXDW
PI EP 362810 A1 900411
DS R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL
AI EP 89-118384 891004
PRAI EP 88-116605 881007
DT Patent
LA English
AB ***Artemisinine*** , dihydroartemisinine, arteether, ***artemether*** , and artesunate and their pharmacol. tolerated salts are combined with quinidine alone or with mefloquine or their tolerated salts for synergistic action against malaria. Subcurative doses of ***artemisinine*** , dihydroartemisinine, and arteether, each in combination with subcurative doses of mefloquine plus quinidine, completely cured malaria infection in mice when the compds. were administered ***orally*** or s.c.
ST antimalarial quinidine combination compn; ***artemisinine*** quinidine antimalarial combination; dihydroartemisinine quinidine antimalarial combination; arteether quinidine antimalarial combination; ***artemether*** quinidine antimalarial combination; artesunate quinidine antimalarial combination; mefloquine quinidine antimalarial combination

L3 ANSWER 8 OF 49 CA COPYRIGHT 1995 ACS
AN 121:72987 CA
TI Pharmacokinetics of ***artemether*** after ***oral*** administration to healthy Thai males and patients with acute, uncomplicated falciparum malaria
AU Bangchang, K. Na; Karbwang, J.; Thomas, C. G.; Thanavibul, A.; Sukontason, K.; Ward, S. A.; Edwards, G.
CS Fac. Trop. Med., Mahidol Univ., Bangkok, 10400, Thailand
SO Br. J. Clin. Pharmacol. (1994), 37(3), 249-53
CODEN: BCPHBM; ISSN: 0306-5251
DT Journal
LA English
AB The pharmacokinetics of ***artemether*** were investigated (a) in six healthy male Thai volunteers after single 200 mg ***oral*** doses and (b) in eight male Thai patients with acute uncomplicated falciparum malaria after an initial 200 mg ***oral*** dose followed by 100 mg at 12 h then 100 mg daily for 4 days. In the healthy subjects, median (range) max. plasma concns. of ***artemether*** of 118 (112-127) ng mL-1 were reached at 3 (1-10) h. Thereafter, drug concns. declined monoexponentially with a median (range) t1/2,z of 3.1 (1.0-9.6) h. The median (range) AUC and MRT values were 1.10 (0.33-4.44) .mu.g mL-1 h and 8.3 (3.5-20.8) h. The median Cmax value of dihydroartemisinin, an active metabolite, was 379 (162-702) ng mL-1 at 6 (2-12) h. Its median AUC value was 6.6 (0.83-38.7) .mu.g mL-1 h; the apparent t1/2,z was 10.6 (4.7-19.2) h and the median MRT value was 16.0 (5.0-41.0) h. In the patients, a higher Cmax value of parent drug than those obsd. in healthy subjects (median and range of 231 (116-411) ng mL-1), was reached at 3 (1-3) h after the first dose. Steady state was reached after the third dose (24 h) and concns. fluctuated over the range of 36-60 ng mL-1. The resp. median (range) values of AUC and t1/2,z were 5.8 (3.76-12.9) .mu.g mL-1 h and 4.2 (2.5-5.3) h. Compared with the parent compd., dihydroartemisinin reached higher peak concns. at later times (Cmax: 593 (483-729) ng mL-1; tmax 7.4 (3-20) h). The high concns. were sustained until the final dose of ***artemether*** (96 h). The t1/2,z of 12.5 (9.9-21.2) h was significantly longer than that of the parent drug and AUC was significantly greater (49.6 (29.0-60.5) .mu.g mL-1 h). All patients showed a rapid initial response to treatment with median values for fever clearance time (FCT) and parasite clearance time (PCT) of 30 and 36 h, resp. However, one patient recrudesced on day 19 after treatment. Cmax and the AUC of ***artemether*** and dihydroartemisinin in this patient were lower than those in other patients (116 ng mL-1 and 29.0 .mu.g mL-1 h).
ST ***artemether*** antimalarial pharmacokinetics